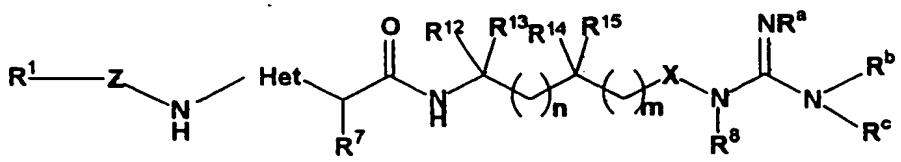
What Is Claimed Is:

1. A compound having the Formula *VII*:



VII

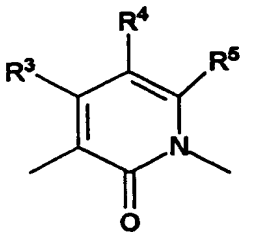
or a solvate, hydrate or pharmaceutically acceptable salt thereof; wherein:

R^1 is alkyl, cycloalkyl, cycloalkylalkyl, alkenyl, alkynyl, aryl, aralkyl, heterocycle or heterocycloalkyl, any of which may be optionally substituted;

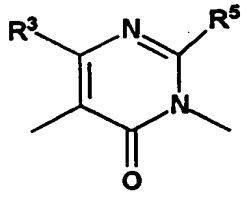
Z is $-SO_2-$, $-OCO-$, $-CO-$, $-NR^2CO-$ or a covalent bond,

where R^2 is hydrogen, alkyl, aralkyl, aryl, hydroxy(C_{2-10})alkyl, amino(C_{2-10})alkyl, monoalkylamino(C_{2-10})alkyl, dialkylamino(C_{2-10})alkyl or carboxyalkyl;

Het is selected from the group consisting of

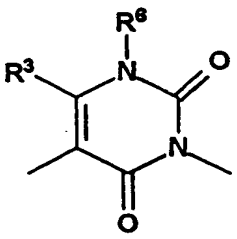


A



B

, and



C

where

R^3, R^4 and R^5 are independently hydrogen, alkyl, cycloalkyl, alkenyl, alkynyl, optionally substituted aryl, optionally substituted aralkyl, optionally substituted heteroaryl, trifluoromethyl, halogen, hydroxyalkyl, cyano, nitro, carboxamido, $-CO_2R^x$, $-CH_2OR^x$ or $-OR^x$,

where R^x, in each instance, is independently one of hydrogen, alkyl or cycloalkyl wherein said alkyl or cycloalkyl groups may optionally have one or more unsaturations;

R^6 is hydrogen, alkyl, aralkyl, aryl, cyano(C_{2-10})alkyl, hydroxy(C_{2-10})alkyl, alkoxy(C_{2-10})alkyl, mono- and di-alkylamino(C_{2-10})alkyl, or carboxyalkyl;

R^7 is hydrogen, C_{1-4}alkyl, or C_{2-4} alkenyl;

R^8 is hydrogen, alkyl, alkenyl, aralkyl, aryl, hydroxyalkyl, aminoalkyl, monoalkylamino (C_{2-10})alkyl, dialkylamino(C_{2-10})alkyl or carboxyalkyl;

R^{12}, R^{13}, R^{14} and R^{15} are independently hydrogen, alkyl, aralkyl, aryl, hydroxyalkyl, aminoalkyl, monoalkylaminoalkyl, dialkylaminoalkyl or carboxyalkyl;

or R^{12} and R^{13} are taken together to form $-(CH_2)_y-$, where y is 2 to 7, while R^{14} and R^{15} are defined as above;

or R^{14} and R^{15} are taken together to form $-(CH_2)_q-$, where q is 2 to 7,while R^{12} and R^{13} are defined as above;

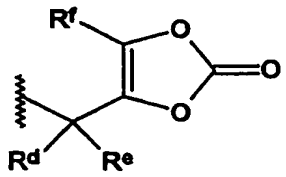
or R^{12} and R^{14} are taken together to form $-(CH_2)_r-$, where r is 0 (a bond) or 1 to 7, while R^{13} and R^{15} are defined as above;

X is oxygen or NR^9,

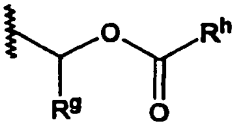
where R^9 is hydrogen, alkyl, cycloalkyl or aryl, wherein said alkyl, cycloalkyl or aryl can be optionally substituted with amino, monoalkylamino, dialkylamino, alkoxy, hydroxy, carboxy, alkoxycarbonyl, aryloxycarbonyl, aralkoxycarbonyl, aryl, heteroaryl, acylamino, cyano or trifluoromethyl;

R^a, R^b and R^c are independently hydrogen, alkyl, hydroxy, alkoxy, aryloxy, aralkoxy, alkoxycarbonyloxy, cyano or $-CO_2R^w$, where

R^w is alkyl, cycloalkyl, phenyl, benzyl,



or



where R^d and R^e are independently hydrogen, C_{1-6} alkyl, C_{2-6} alkenyl or phenyl, R^f is hydrogen, C_{1-6} alkyl, C_{2-6} alkenyl or phenyl, R^g is hydrogen, C_{1-6} alkyl, C_{2-6} alkenyl or phenyl, and R^h is aralkyl or C_{1-6} alkyl;

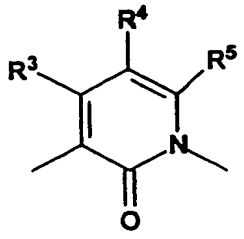
n is from zero to 8; and

m is from zero to 6.

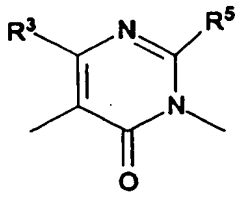
2. A compound of claim 1, wherein C_{6-10} ar(C_{1-4}) alkyl, C_{6-10} aryl, C_{4-7} cycloalkyl(C_{1-4})alkyl, heterocycle or heterocyclo(C_{1-4})alkyl, any of which is optionally substituted; and wherein the heterocycle of said heterocycle or heterocyclo(C_{1-4})alkyl is a 5- to 7-member mono-cyclic, or 9- to 10-member bi-cyclic heterocyclic ring that is saturated or unsaturated, and contains 1 to 3 heteroatoms selected from N, O and S.

3. A compound of claim 2, wherein R^1 is C_{6-10} ar(C_{1-4}) alkyl, C_{6-10} aryl, C_{4-7} cycloalkyl(C_{1-4})alkyl, any of which is optionally substituted by 1-5 of hydroxy, nitro, trifluoromethyl, halogen, C_{1-6} alkyl, C_{2-6} alkenyl, C_{6-10} aryl, C_{1-6} alkoxy, C_{6-10} ar(C_{1-6})alkoxy, C_{1-6} aminoalkyl, C_{1-6} aminoalkoxy, amino, mono(C_{1-4})alkylamino, di(C_{1-4})alkylamino, C_{2-6} alkylcarbonylamino, C_{2-6} alkoxycarbonylamino, C_{2-6} alkoxycarbonyl, carboxy, C_{1-6} hydroxyalkyl, C_{2-6} hydroxyalkoxy, (C_{1-6})alkoxy(C_{2-6})alkoxy, mono- and di- C_{1-4} alkylamino (C_{2-6})alkoxy, C_{2-10} mono(carboxyalkyl)amino, bis(C_{2-10} carboxyalkyl) amino, C_{6-14} ar(C_{1-6}) alkoxycarbonyl, C_{2-6} alkynylcarbonyl, C_{1-6} alkylsulfonyl, C_{2-6} alkenylsulfonyl, C_{2-6} alkynylsulfonyl, C_{6-10} arylsulfonyl, C_{6-10} ar(C_{1-6}) alkylsulfonyl, C_{1-6} alkylsulfinyl, C_{1-6} alkylsulfonamido, C_{6-10} arylsulfonamido, C_{6-10} ar(C_{1-6}) alkylsulfonamido, amidino, guanidino, C_{1-6} alkyliminoamino, formyliminoamino, C_{2-6} carboxyalkoxy, C_{2-6} carboxyalkyl, carboxyalkylamino, cyano, trifluoromethoxy, or perfluoroethoxy.

4. A compound of claim 1, wherein Het is selected from the group consisting of:



and



where R^3, R^4 and R^5 are independently hydrogen, C_{1-4} alkyl, C_{3-7} cycloalkyl, C_{6-14} aryl, especially C_{6-10} aryl, C_{6-10} ar(C_{1-4})alkyl, trifluoromethyl, halogen, hydroxyalkyl, cyano, nitro, carboxamide, carboxy, alkoxycarbonyl, carboxymethyl, alkoxycarbonylmethyl, or cycloalkyloxycarbonyl.

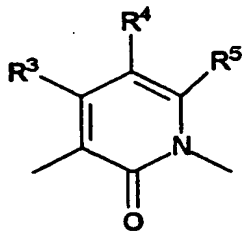
5. A compound of claim 4, wherein R^3, R^4 and R^5 are independently hydrogen, methyl, ethyl, propyl, chloro, bromo, trifluoromethyl, hydroxymethyl, methoxy, ethoxy, carboxamide, nitro, phenyl, cyclopropyl, hydroxy, isopropyl, methoxycarbonyl, ethoxycarbonyl and benzyl.

6. A compound of claim 1, wherein R^3 and R^4 groups are independently hydrogen, C_{1-12} alkyl, or C_{2-6} alkenyl.

7. A compound of claim 6, wherein R^3 and R^4 are hydrogen.

8. A compound of claim 1, wherein R^5 is hydrogen, halogen, C_{1-5} alkyl, C_{3-6} alkenyl, C_{3-5} cycloalkyl, trifluoromethyl, or C_{1-4} alkoxy.

9. A compound of claim 1, wherein Het is:



wherein

R^3 and R^4 are independently selected to be hydrogen or methyl, and

R^5 is selected from the group consisting of hydrogen, methyl, ethyl, propenyl, allyl, propyl, isopropyl, butyl, R-sec-butyl, S-sec-butyl, isobutyl, 1-pentyl, R-2-pentyl, S-2-pentyl, 3-pentyl, S-1-(2-methyl)-butyl, R-2-(3-methyl)-butyl, 1-(3-methyl)-butyl, R-1-(2-methyl)-butyl, cyclopentyl, 2-pyrolyl, 3-pyrolyl, 1-hexyl, S-2-hexyl, R-2-hexyl, R-3-hexyl, and S-3-hexyl.

10. A compound of claim 9, wherein R^5 is hydrogen, methyl, ethyl, propyl or isopropyl.

11. A compound of claim 1, wherein Z is $-SO_2-$ or a covalent bond.

12. A compound of claim 1, wherein R^7 is hydrogen.

13. A compound of claim 1, wherein X is oxygen.

14. A compound of claim 1, wherein X is NR^9.

15. A compound of claim 1, wherein R^9 is hydrogen or C_{1-6} alkyl, optionally substituted by one, two or three, preferably one, of amino, monoalkylamino, dialkylamino, alkoxy, hydroxy, alkoxycarbonyl, aryloxycarbonyl, aralkoxycarbonyl, carboalkoxy, phenyl, cyano, trifluoromethyl, acetylamino, pyridyl, thiophenyl, furyl, pyrrolyl or imidazolyl.

16. A compound of claim 1, wherein R^9 is hydrogen, methyl, ethyl, propyl, *n*-butyl, benzyl, phenethyl, 2-hydroxyethyl, 3-hydroxypropyl, 4-hydroxybutyl, carboxymethyl or carboxyethyl.

17. A compound of claim 1, wherein R^8 is hydrogen, C_{1-6} alkyl or C_{6-10} aryl (C_{1-6})alkyl.

18. A compound of claim 1, wherein

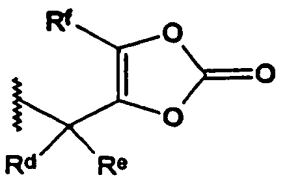
R^{12}, R^{13}, R^{14} and R^{15} are independently one of hydrogen, C_{1-6} alkyl, C_{6-10} ar(C_{1-6})alkyl, C_{6-10} aryl, C_{2-10} hydroxyalkyl or C_{2-7} carboxyalkyl.

19. A compound of claim 18, wherein

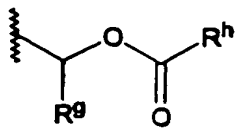
R^{12}, R^{13}, R^{14} and R^{15} are independently hydrogen, methyl, ethyl, propyl, *n*-butyl, benzyl, phenylethyl, 2-hydroxyethyl, 3-hydroxypropyl, 4-hydroxybutyl, 2-carboxymethyl, 3-carboxyethyl and 4-carboxypropyl.

20. A compound of claim 1, wherein

R^a, R^b and R^c are independently hydrogen, hydroxy, C_{1-6} alkyl, C_{1-6} alkoxy, cyano or $-CO_2R^w$, where R^w, in each instance, is preferably one of C_{1-4}alkyl, C_{4-7}cycloalkyl or benzyl,



or



where R^d, R^e and R^g are hydrogen,

R^f is methyl, and

R^h is benzyl or *tert*-butyl.

21. A compound of claim 20, wherein

R^a, R^b and R^c are hydrogen, methyl, ethyl, propyl, *n*-butyl, hydroxy, methoxy, ethoxy, cyano, $-CO_2CH_3$, $-CO_2CH_2CH_3$ and $-CO_2CH_2CH_2CH_3$.

22. A compound of claim 21, wherein R^a, R^b and R^c are each hydrogen.

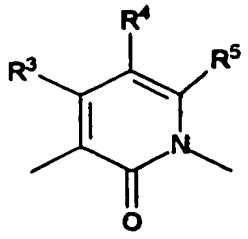
23. A compound of claim 1, wherein n is zero to 6, and m is zero to 4.

24. A compound of claim 23, wherein n is zero to 4 and m is zero, 1 or 2.

25. A compound of claim 1, wherein:

R^1 is C_{6-10} ar(C_{1-4}) alkyl, C_{6-10} aryl, C_{4-7} cycloalkyl(C_{1-4})alkyl, any of which is optionally substituted by 1-5 of hydroxy, nitro, trifluoromethyl, halogen, C_{1-6} alkyl, C_{6-10} aryl, C_{1-6} alkoxy, C_{6-10} ar(C_{1-6})alkoxy, C_{1-6} aminoalkyl, C_{1-6} aminoalkoxy, amino, mono(C_{1-4})alkylamino, di(C_{1-4})alkylamino, C_{2-6} alkoxycarbonylamino, C_{2-6} alkoxycarbonyl, carboxy, C_{1-6} hydroxyalkyl, C_{2-6} hydroxyalkoxy, (C_{1-6})alkoxy(C_{2-6})alkoxy, mono- and di- C_{1-4} alkylamino (C_{2-6})alkoxy, C_{2-10} mono(carboxyalkyl)amino, bis(C_{2-10} carboxyalkyl) amino, C_{6-14} ar(C_{1-6}) alkoxycarbonyl, C_{2-6} alkynylcarbonyl, C_{1-6} alkylsulfonyl, C_{2-6} alkenylsulfonyl, C_{2-6} alkynylsulfonyl, C_{6-10} arylsulfonyl, C_{6-10} ar(C_{1-6}) alkylsulfonyl, C_{1-6} alkylsulfinyl, C_{1-6} alkylsulfonamido, C_{6-10} arylsulfonamido, C_{6-10} ar(C_{1-6}) alkylsulfonamido, amidino, guanidino, C_{1-6} alkyliminoamino, formyliminoamino, C_{2-6} carboxyalkoxy, C_{2-6} carboxyalkyl, carboxyalkylamino, cyano, trifluoromethoxy, or perfluoroethoxy;

Het is:



wherein

R^3 and R^4 are independently selected to be hydrogen or methyl, and

R^5 is selected from the group consisting of hydrogen, methyl, ethyl, propenyl, allyl, propyl, isopropyl, butyl, R-sec-butyl, S-sec-butyl, isobutyl, 1-pentyl, R-2-pentyl, S-2-pentyl, 3-pentyl, S-1-(2-methyl)-butyl, R-2-(3-methyl)-butyl, 1-(3-methyl)-butyl, R-1-(2-methyl)-butyl, cyclopentyl, 2-pyrolyl, 3-pyrolyl, 1-hexyl, S-2-hexyl, R-2-hexyl, R-3-hexyl, and S-3-hexyl;

Z is $-SO_2-$ or a covalent bond;

R^{12}, R^{13}, R^{14} and R^{15} are independently one of hydrogen, C_{1-6} alkyl, C_{6-10} ar(C_{1-6})alkyl, C_{6-10} aryl, C_{2-10} hydroxyalkyl or C_{2-7} carboxyalkyl;

X is oxygen;

R^8 is hydrogen, C_{1-4} alkyl or C_{6-10} aryl (C_{1-6})alkyl;

R^a, R^b and R^c are hydrogen, methyl, ethyl, propyl, *n*-butyl, hydroxy, methoxy, ethoxy, cyano, $-CO_2CH_3$, $-CO_2CH_2CH_3$ and $-CO_2CH_2CH_2CH_3$;

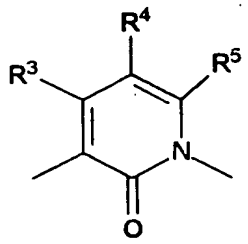
n is zero to 6, and m is zero to 4.

26. A compound of claim 1, wherein

Z is $-SO_2-$,

R^1 is substituted or unsubstituted aryl or aralkyl,

Het is



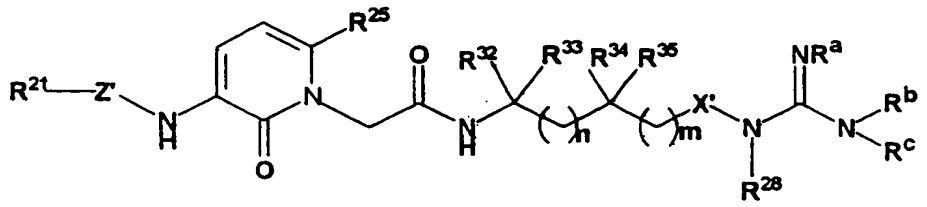
X is O, R^8 is hydrogen, C_{1-4} alkyl or C_{6-10} aryl(C_{1-6})alkyl, and

R^a, R^b and R^c are all hydrogen.

27. A compound of claim 26, wherein

R^1 is substituted or unsubstituted benzyl or phenyl.

28. A compound having Formula *VIII*:



VIII

or a solvate, hydrate of pharmaceutically acceptable salt thereof; wherein

Z' is –OCO–, –CO–, $–SO_2–$, –NHCO–, or a covalent bond;

R^{21} is:

$R^{22}(CH_2)_k$, where k is 0-4, $(R^{22})(OR^{22})CH(CH_2)_p$, where p is 1-4,

$(R^{22})_2CH(CH_2)_k$, where k is 0-4 and R^{22} can be the same or different, and wherein $(R^{22})_2$ can also be a ring substituent on CH represented by C_{3-7} cycloalkyl, C_{7-12} bicyclic alkyl, or a 5- to 7- membered mono- or 9- to 10-membered bicyclic heterocyclic ring which can be saturated or unsaturated, and which contains from one to three heteroatoms selected from the group consisting of N, O and S, and

$R^{22}O(CH_2)_p$, wherein p is 1-4;

R^{22} is hydrogen; phenyl, unsubstituted or substituted with one or more of C_{1-4} alkyl, C_{1-4} alkoxy, halogen, trifluoromethyl, hydroxy, COOH, or $CONH_2$; naphthyl; biphenyl; a 5- to 7-membered mono- or a 9- to 10-membered bicyclic heterocyclic ring which can be saturated or unsaturated, and which contains from one to three heteroatoms selected from the group consisting of N, O and S; C_{1-4} alkyl; C_{3-7} cycloalkyl, or C_{7-12} bicyclic alkyl;

R^{25} is hydrogen; C_{1-4} alkyl; C_{3-7} cycloalkyl, or trifluoromethyl;

R^a, R^b and R^c are independently hydrogen, hydroxy, or cyano;

R^{32}, R^{33}, R^{34} and R^{35} are independently one of hydrogen, C_{1-6} alkyl, C_{2-10} carboxyalkyl or C_{2-10} hydroxyalkyl, or R^{32} and R^{33} are taken together to form $–(CH_2)_y–$, where y is 2 to 5, while R^{34} and R^{35} are defined as above; or R^{34} and R^{35} are taken together to form $–(CH_2)_q–$,

where q is 2 to 5, while R^{32} and R^{33} are defined as above; or R^{32} and R^{34} are taken together to form $-(CH_2)_r-$, where r is 0 (a bond) or 1-4, while R^{33} and R^{35} are defined as above;

R^{28} is hydrogen, C_{1-4} alkyl or C_{6-10} aryl (C_{1-4})alkyl

X' is O;

n is from zero to 4; and

m is zero to 2.

29. A compound of claim 28, wherein Z' is a covalent bond or $-SO_2-$.

30. A compound of claim 28, wherein R^{21} is $R^{22}(CH_2)_k$, $(R^{22})_2CH(CH_2)_k$, phenyl, or $(phenyl)_2$-CH.

31. A compound of claim 28, wherein R^{25} is C_{1-4} alkyl

32. A compound of claim 31, wherein R^{25} is methyl.

33. A compound of claim 28, wherein R^{28} is hydrogen, C_{1-4} alkyl, or benzyl.

34. A compound of claim 1, wherein

R^1 is phenyl, benzyl, 1-naphtylmethyl, 2-naphthylmethyl, pyridyl, pyridylmethyl, quinolinyl or quinolinylmethyl, any of which is optionally substituted by 1-5 of chloro, methoxy, methyl, trifluoromethyl, cyano, nitro, methylsulfonyl, amino or dimethylamino.

35. A compound of claim 1, wherein

R^1 is 8-quinolinyl, 5-methyl-8-quinolinyl, 8-quinolinylmethyl, 5-methyl-8-quinolinylmethyl, 4-benzo-2,1,3-thiadiazolyl, 5-chloro-2-thiophenyl, 5-chloro-1,3-dimethyl-4-pyrazolyl, pyridyl, isoquinolinyl, pyridylmethyl, isoquinolinylmethyl, tetrahydroquinolinyl and tetrahydroquinolinylmethyl.

36. A compound of claim 1, wherein m and n are each zero and R^{12}, R^{13}, R^{14} and R^{15} are each hydrogen.

37. A compound of claim 1, which is one of:

3-benzylsulfonylamino-6-methyl-1-[(2-guanidinooxyethyl)aminocarbonylmethyl]-2-pyridinone;

3-(3-methylphenylsulfonyl)amino-6-methyl-1[(2-guanidinooxyethyl) aminocarbonylmethyl]-2-pyridinone;

3-benzylsulfonylamino-6-methyl-1-[(1-(1-guanidinooxymethyl)cyclopropyl) aminocarbonylmethyl]-2-pyridinone;

3-(3-chlorobenzylsulfonyl)amino-6-methyl-1-[(2-guanidinooxyethyl) aminocarbonylmethyl]-2-pyridinone;

3-(2-iodobenzylsulfonyl)amino-6-methyl-1-[(2-guanidinooxyethyl) aminocarbonylmethyl]-2-pyridinone;

3-(2-chlorobenzylsulfonyl)amino-6-methyl-1-[(2-guanidinooxyethyl) aminocarbonylmethyl]-2-pyridinone;

3-(2-bromobenzylsulfonyl)amino-6-methyl-1-[(2-guanidinooxyethyl) aminocarbonylmethyl]-2-pyridinone;

3-(3-fluorobenzylsulfonyl)amino-6-methyl-1-[(2-guanidinooxyethyl) aminocarbonylmethyl]-2-pyridinone;

3-(4-chlorobenzylsulfonyl)amino-6-methyl-1-[(2-guanidinooxyethyl) aminocarbonylmethyl]-2-pyridinone;

3-(2-chloro-6-fluorobenzylsulfonyl)amino-6-methyl-1-[(2-guanidinooxyethyl) aminocarbonylmethyl]-2-pyridinone;

3-(2-fluorobenzylsulfonyl)amino-6-methyl-1-[(2-guanidinooxyethyl)aminocarbonylmethyl]-2-pyridinone;

3-(4-fluorobenzylsulfonyl)amino-6-methyl-1-[(2-guanidinooxyethyl) aminocarbonylmethyl]-2-pyridinone;

3-(2,3-dichlorobenzylsulfonyl)amino-6-methyl-1-[2-guanidinooxyethyl)aminocarbonylmethyl]-2-pyridinone;

3-(3,4-difluorobenzylsulfonyl)amino-6-methyl-1-[2-guanidinooxyethyl) aminocarbonylmethyl]-2-pyridinone;

3-(2,4-dichlorobenzylsulfonyl)amino-6-methyl-1-[(2-guanidinooxyethyl) aminocarbonylmethyl]-2-pyridinone;

3-(2,5-dichlorobenzylsulfonyl)amino-6-methyl-1-[(2-guanidinooxyethyl) aminocarbonylmethyl]-2-pyridinone;

3-(3,4-dichlorobenzylsulfonyl)amino-6-methyl-1-[(2-guanidinooxyethyl) aminocarbonylmethyl]-2-pyridinone;

3-(1-naphthalenylmethylsulfonyl)amino-6-methyl-1-[(2-guanidinooxyethyl) aminocarbonylmethyl]-2-pyridinone;

3-(2-methylbenzylsulfonyl)amino-6-methyl-1-[(2-guanidinooxyethyl) aminocarbonylmethyl]-2-pyridinone;

3-phenysulfonylamino-6-methyl-1-[(2-guanidinooxyethyl)aminocarbonylmethyl]-2-pyridinone;

3-(3-chlorophenylsulfonyl)amino-6-methyl-1-[(2-guanidinooxyethyl) aminocarbonylmethyl]-2-pyridinone;

3-(4-methoxyphenylsulfonyl)amino-6-methyl-1-[(2-guanidinooxyethyl) aminocarbonylmethyl]-2-pyridinone;

3-(3,4-dichlorophenylsulfonyl)amino-6-methyl-1-[(2-guanidinooxyethyl) aminocarbonylmethyl]-2-pyridinone;

3-(3-bromophenylsulfonyl)amino-6-methyl-1-[(2-guanidinooxyethyl) aminocarbonylmethyl]-2-pyridinone;

3-(3,4-dichlorophenylsulfonyl)amino-6-methyl-1-[(2-guanidinooxyethyl) aminocarbonylmethyl]-2-pyridinone;

3-(4-methylphenylsulfonyl)amino-6-methyl-1-[(2-guanidinooxyethyl) aminocarbonylmethyl]-2-pyridinone;

3-(4-ethylphenylsulfonyl)amino-6-methyl-1-[(2-guanidinooxyethyl) aminocarbonylmethyl]-2-pyridinone;

3-(3-methylphenylsulfonyl)amino-6-isopropyl-1-[(2-guanidinooxyethyl) aminocarbonylmethyl]-2-pyridinone;

3-(3-methylphenylsulfonyl)amino-6-ethyl-1-[2-guanidinooxyethyl) aminocarbonylmethyl]-2-pyridinone;

3-(3-methylphenylsulfonyl)amino-6-propyl-1-[(2-guanidinooxyethyl)aminocarbonylmethyl]-2-pyridinone;

3-(3-methylphenylsulfonyl)amino-6-methyl-1-[(2-N''-methylguanidinooxyethyl) aminocarbonylmethyl]-2-pyridinone;

3-(3-methylphenylsulfonyl)amino-6-methyl-1-[2-N''-butylguanidinooxyethyl) aminocarbonylmethyl]-2-pyridinone;

3-(3-methylphenylsulfonyl)amino-6-methyl-1-{[2-N''-(3-phenylpropyl)guanidinooxyethyl]aminocarbonylmethyl}-2-pyridinone;

and pharmaceutically acceptable salts thereof.

38. A pharmaceutical composition for inhibiting proteolysis in a mammal, comprising an amount of a compound of any one of claims effective to inhibit proteolysis, and a pharmaceutically acceptable carrier or diluent.

39. The pharmaceutical composition of claim 38, comprising an amount of said compound effective to inhibit a trypsin-like protease.

40. A method of inhibiting proteolysis in a mammal, comprising administering to the mammal a composition of claim 38.

41. The method of claim 39, wherein a trypsin-like protease is inhibited.

42. A method of treating pancreatitis, thrombosis, ischemia, stroke, restenosis, emphysema or inflammation in a mammal, comprising administering to the mammal a composition of claim 38.

43. A method of inhibiting thrombin-induced platelet aggregation and clotting of fibrinogen in plasma, comprising administering to the mammal a composition of claim 38.

44. A method for inhibiting thrombin in blood comprising adding to the blood a compound of claim 1.

45. A method for inhibiting formation of blood platelet aggregates in blood comprising adding to the blood a compound of claim 1.

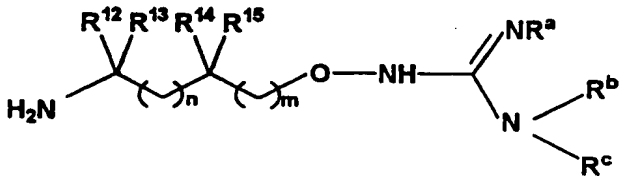
46. A method for inhibiting thrombus formation in blood comprising adding to the blood a compound of claim 1.

47. In a device used in blood collection, blood circulation, and blood storage wherein said device includes an effective amount of a thrombin inhibiting compound or macromolecule as an anticoagulant, either embedded in, or physically linked to, one or more materials that form the structure of said device, the improvement comprising employing as said thrombin inhibitor one or more compounds as claimed in claim 1.

48. The device of claim 46, wherein said device is a catheter, blood dialysis machine, blood collection syringe, blood collection tube, blood line or extracorporeal blood circuit.

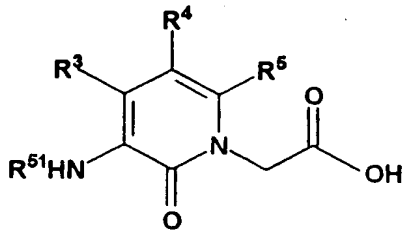
49. The device of claim 46, wherein said device is a stent that can be surgically inserted into a mammal.

50. A process for preparing an alkoxyguanidine compound of claim 1, comprising: reacting a compound of Formula *IX*:



IX

or a salt thereof, with a compound of Formula *X*:



X

where R^3, R^4, R^5, R^{12}, R^{13}, R^{14}, R^{15}, R^a, R^b, R^c, n and m are as defined in claim 1, and

R^{51} is hydrogen or R^1–Z–, where R^1 and Z are as defined in claim 1, and

provided that R^a, R^b and R^c are not hydrogen.